IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Appln. No. :10/810,517 Confirmation No.:1212

Patent No. :7,300,951

Applicant :Kreft et al.

Filed :March 26, 2004

Issued :November 27, 2007

TC/A.U. :1626

Examiner :Shameem, Golam M.

Customer No. 38199

Title :FLUORO- AND TRIFLUOROALKYL-CONTAINING

HETEROCYCLIC SULFONAMIDE INHIBITORS OF BETA AMYLOID PRODUCTION AND DERIVATIVES

THEREOF

Attention: Certificate of Corrections Branch

Commissioner for Patents PO Box 1450

Alexandria, VA 22313-1450

REQUEST FOR CERTIFICATE OF CORRECTION UNDER 35 USC § 254

Sir:

The following errors were found in the above-identified patent.

- (1) Col. 70, line 21, replace "R2" with -- R2 --.
- (2) Col. 70, line 24, start new paragraph after "alkynyl;" with -- R₃ --.
- (3) Col. 70, line 31, replace "R5" with -- R5 --.
- (4) Col. 71, line 13, replace "-2-" with -- -2'- --.
- (5) Col. 71, line 24, replace "2sulfonamide;" with -- 2-sulfonamide; --.
- (6) Col. 71, line 34, replace "2hydroxyethyl]" with -- 2-hydroxyethyl] --.

- (7) Col. 71, line 37, replace "thiophene2" with -- thiophene-2 --.
- (8) Col. 71, line 39, replace "2sulfonamide;" with -- 2-sulfonamide; --.
- (9) Col. 71, line 40, replace "1Acetyl" with -- 1-Acetyl --.
- (10) Col. 71, line 40, replace "2methylbutyl]" with -- 2-methylbutyl] --.
- (11) Col. 71, line 43, replace "2-sulfonamide;" with -- 2-methylbutyl]thiophene-2-sulfonamide; --.
- (12) Col. 71, line 60, replace "2sulfonamide;" with -- 2-sulfonamide; --.
- (13) Col. 71, lines 66-67, replace "2(trifluoromethyl)propy]" with -- 2-(trifluoromethyl)propyll --.
- (14) Col. 72, line 54, replace "(CF3)" with -- (CF3) --.

It is requested that a Certificate of Correction be issued to correct the above errors in accordance with the enclosed forms, which are submitted herewith.

Because all errors were made by the US Patent and Trademark Office (USPTO), no fee is due for correction of these errors. To support Applicants' assertion that these are USPTO errors, Applicants have enclosed a copy of the relevant pages of the Response filed on September 8, 2006 which contains the correct language for original claim 1, issued claim 1; original claim 11, issued claim 11; and original claim 18; issued claim 18. The correct language in the Response is identified by a handwritten bolded box.

The director of the US Patent and Trademark Office is hereby authorized to charge any deficiency in any fees due with the filing of this paper or credit any overpayment in any fees paid on the filing, or during prosecution of this application to Deposit Account No. 08-3040.

Respectfully submitted,

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UNITED STATES PATENT AND TRADEMARK OFFICE CERTIFICATE OF CORRECTION

PATENT NO. 7.300,951 Page 1 of 1

APPLICATION NO. 10/810,517
ISSUE DATE. November 27, 2007

Kreft et al.

INVENTOR(S).

It is certified that an error appears or errors appear in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

- (1) Col. 70, line 21, replace "R2" with -- R2 --.
- (2) Col. 70, line 24, start new paragraph after "alkynyl;" with -- R₃ --.
- (3) Col. 70, line 31, replace "R⁵" with -- R₅ --.
- (4) Col. 71, line 13, replace "-2-" with -- -2'- --.
- (5) Col. 71, line 24, replace "2sulfonamide;" with -- 2-sulfonamide; --.
- (6) Col. 71, line 34, replace "2hydroxyethyll" with -- 2-hydroxyethyll --.
- (7) Col. 71, line 37, replace "thiophene2" with -- thiophene-2 --.
- (8) Col. 71, line 39, replace "2sulfonamide;" with -- 2-sulfonamide; --.
- (9) Col. 71, line 40, replace "1Acetyl" with -- 1-Acetyl --.
- (10) Col. 71, line 40, replace "2methylbutyl]" with -- 2-methylbutyl] --.
- (11) Col. 71, line 43, replace "2-sulfonamide;" with -- 2-methylbutyllthiophene-2-sulfonamide; --.

(14) Col. 72, line 54, replace "(CF3)" with -- (CF3) --.

- (12) Col. 71, line 60, replace "2sulfonamide;" with -- 2-sulfonamide; --.
- (13) Col. 71, lines 66-67, replace "2(trifluoromethyl)propy]" with -- 2-(trifluoromethyl)propyl] --.
 - 2 (timuoromethyr)propyrj :

MAILING ADDRESS OF SENDER (Please do not use customer number below):

Howson & Howson LLP 501 Office Center Drive, Suite 210 Fort Washington, PA 19034

This collection of information is required by 37 CFR 1,322, 1,323, and 1,324. The information is required to obtain or retain a barnetity by the public, which relief (end by the USPTO to process) an application. Confidentially is governed by \$5 U.S. C122 and 37 CFR.1.14. This collection is estimated to take 1.0 hour to complete, including gathering, preparing, and submitting the completed application from to the USPTO. Time will vary depending upon the individual exploration of the properties of the complete the form and/or suggestors for reducing this burden; about the sent burden to the complete the form and/or suggestors for reducing this burden; about the sent burden to the complete the form and/or suggestors for reducing this burden; about the sent burden to the complete the form and/or suggestors for reducing this burden; about the sent burden to the complete the form and/or suggestors for reducing this burden, about the sent burden to the complete the form and the complete the complet

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AMENDMENTS TO THE CLAIMS

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

1(Original). A compound of Formula (I), or pharmaceutically acceptable salt thereof, wherein Formula (I) has the structure:

wherein:

T is selected from the group consisting of CHO, COR₈, and C(OH)R₁R₂;

 R_1 and R_2 are independently selected from the group consisting of hydrogen, lower alkyl, substituted lower alkyl, CF₃, alkenyl, substituted alkenyl, alkynyl, and substituted alkynyl;

 R_3 is selected from the group consisting of hydrogen, lower alkyl and substituted lower alkyl;

R₄ is selected from the group consisting of (CF₃)_nalkyl, (CF₃)_n(substitutedalkyl), (CF₃)_nalkylphenyl, (CF₃)_nalkyl(substitutedphenyl), and (F)_ncycloalkyl;

n=1-3;

R₃ is selected from the group consisting of hydrogen, halogen, CF₃, diene fused to Y when Y=C, and substituted diene fused to Y when Y=C;

W, Y and Z are independently selected from the group consisting of C, CR_6 and N with the proviso that at least one of W or Y or Z must be C;

9(Original). The compound according to claim 1, wherein X is S, W is C, Y is CH, Z is CH, R5 is chlorine, R4 is CF3CHCF3, R3, R1 and R2 are each hydrogen, which has 1S stereochemistry.

10(Original). The compound according to claim 1, wherein W is N and X is NR7

11(Original). The compound according to claim 1, wherein the compound is selected from the group consisting of:

5-Chloro-N-I(1S, 2R)-4,4,4-trifluoro-1-(hydroxymethyl)-2methylbutyl]thiophene-2-sulfonamide:

5-Chloro-N-[(1S, 2R)-2-ethyl-4,4,4-trifluoro-1-(hydroxymethyl)butyl]thiophene-2-sulfonamide:

5'-Chloro-N-[(1S, 2R)-2-ethyl, 4,4,4-trifluoro-1-(1-

hydroxyethyl)butyl]thiophene 2'sulfonamide; hydroxymethyl)propyl]thiophene-2'-sulfonamide;

5'-Chloro-N-[3,3,3-trifluoro-2-(trifluoromethyl)-1-

5'-Chloro-N-[3,3,3-trifluoro-2-(trifluoromethyl)-1-S-

(hydroxymethyl)propyl]thiophene-2'-sulfonamide;

5-Chloro-N-[(1R, 2S)-2-ethyl-4,4,4-trifluoro-1-(hydroxymethyl)butyl]thiophene-2-sulfonamide:

5-Chloro-N-[4,4,4-trifluoro-1-(hydroxymethyl)butyl]thiophene-2-sulfonamide:

5-Chloro-N-{(1S, 2R)-4,4,4-trifluoro-1-[(1S)-1-hydroxyethyl]-2-

methylbutyl}thiophene 2-sulfonamide;

5-Chloro-N-{(1S, 2R)-4,4,4-trifluoro-1-[(1R)-1-hydroxyethyl]-2methylbutyl}thiophene-2-sulfonamide:

5-Chloro-N-[(1S, 2S)-4,4,4-trifluoro-1-(hydroxymethyl)-2-

methylbutyl]thiophene-2-sulfonamide:

(2S, 3S)-2-(5-Chloro-3-methylbenzo[b]thiophene-2-sulfonyl)-amido-5,5,5trifluoro-3-ethyl-pentan-1-ol;

- $\label{eq:condition} \end{cases} (2S, 3R) -2 (5-Chloro-1, 3-dimethyl-1 H-pyrazole-4-sulfonyl)-amido-5, 5, 5-trifluoro-3-phenyl-pentan-1-ol;$
- 5-Chloro-N-[1-(4,4-difluorocyclohexyl) 2-1ydroxyethyl]thiophene-2-sulfonamide:
- 5-Chloro-N-[1-(6,6-difluorobicyclo[3.1.0]hex-3-yl)-2-hydroxyethyl]thiophen-2-sulfonamide;
- 5-Chloro-N-[(1S,2R)-4,4,4-trifluoro-1-formyl-2-methylbutyl]thiophen-2-sulfonamide;
- N-[(1S,2R-1-Acetyl-4,4,4-trifluor-2-nethylbutyl]-5-chlorothiophene-2-sulfonamide;
- 5-Chloro-N-[(1S,2R)-4,4,4-trifluoro-1-(1-hydroxy-1-methylethy)2-methylbutyl]thiophene-2-sulfonamide;
 - 4-Bromo-5-chloro-N-[3,3,3-trifluoro-1-(hydroxymethyl)-2-
- (trifluoromethyl)propyl]thiophene-2-sulfonamide;
 - 4-Bromo-5-chloro-N-[(1S)-3,3,3-trifluoro-1-(hydroxymethyl)-2-
- (trifluoromethyl)propyl]thiophene-2-sulfonamide;
 5-Chloro 4-fluoro-N-[3,3,3-trifluoro-1-(hydroxymethyl)-2-
- (trifluoromethyl)propyl]thiophene-2-sulfonamide;
 - 5-Bromo- N-[3,3,3-trifluoro-1-(hydroxymethyl)-2-
- (trifluoromethyl)propyl]thiophene-2-sulfonamide;
 - 5-Fluoro-N-[3,3,3-trifluoro-1-(hydroxymethyl)-2-
- (trifluoromethyl)propyl]thiophene-2-sulfonamide;
 - 5-Bromo-N-[(1S)-3,3,3-trifluoro-1-(hydroxymethyl)-2-
- (trifluoromethyl)propyl]thiophene-2-sulfonamide;
 - 5-Fluoro-N-[(1S)-3,3,3-trifluoro-1-(hydroxymethyl)-2-
- (trifluoromethyl)propyl]thiophene-2-sulfonamide;

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5-Chloro-N-[4,4,4-trifluoro-1-(hydroxymethyl)-2-(2,2,2-

trifluoroethyl)butyl]thiophene-2-sulfonamide;

5-Chloro-N-[(1S)-(4,4,4-trifluoro-1-(hydroxymethyl)-2-(2,2,2-

trifluoroethyl)butyl)]thiophene-2-sulfonamide:

4,5-Dichloro-N-[3,3,3-trifluoro-1-(hydroxymethyl)-2-

(trifluoromethyl)propyl]thiophene-2-sulfonamide;

N-[(1S)-3,3,3-Trifluoro-1-(hydroxymethyl)-2-(rifluoromethyl)propyl]thiophene-3-sulfonamide;

2,5-Dichloro-N-[(1S)-3,3,3-trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl]thiophene-3-sulfonamide:

N-[(1S)-3,3,3-Trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl] thiophene-2-sulfonamide;

4,5-Dichloro-N-[(1S)-3,3,3-trifluoro-1-(hydroxymethyl)-2-

(trifluoromethyl)propyl]thiophene-2-sulfonamide;

Thiophene-2-sulfonic acid (3,3,3-trifluoro-1-hydroxymethyl-2-trifluoromethylpropyl)-amide;

Thiophene-3-sulfonic acid (3,3,3-trifluoro-1-hydroxymethyl-2-trifluoromethyl-propyl)-amide:

- 2,5-Dichloro-Thiophene-3-sulfonic acid (3,3,3-trifluoro-1-hydroxymethyl-2-trifluoromethyl-propyl)-amide;
 - 4,5-Dibromo-N-[3,3,3-trifluoro-1-(hydroxymethyl)-2-

(trifluoromethyl)propyl]thiophene-2-sulfonamide;

3-Bromo-5-chloro-N-[3,3,3-trifluoro-1-(hydroxymethyl)-2-

(trifluoromethyl)propyl]thiophene-2-sulfonamide;

4-Bromo-2,5-dichloro-N-[3,3,3-trifluoro-1-(hydroxymethyl)-2-

(trifluoromethyl)propyl]thiophene-2-sulfonamide;

Benzo[b] thiophene-2-sulfonic acid (3,3,3-trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl)-amide;

5-Chloro-(3,3,3-trifluoro-1-hydroxymethyl-propyl)-thiophene-2-sulfonamide; and

5-Chloro-N-[(1S)-3,3,3-trifluoro-1-[(1R)-1-hydroxyethyl]-2-(trifluoromethyl)propyl]thiophene-2-sulfonamide; or a pharmaceutically acceptable salt, hydrate, or prodrug thereof.

12(Original). The compound according to claim 1, which is 5-chloro-N-[(1S)-(4,4,4-trifluoro-1-(hydroxymethyl)-2-(2,2,2-trifluoroethyl)butyl)]thiophene-2-sulfonamide; or a pharmaceutically acceptable salt, hydrate, or prodrug thereof.

13(Original). The compound according to claim 1, wherein X is O, and W, Y and Z are independently selected from C and CR_6 , provided that one of W, Y or Z is C.

14(Original). The compound according to claim 13, wherein R_5 is halogen, R_4 is selected from the group consisting of $(CF_3)_n$ loweralkyl, $(CF_3)_n$ (substitutedloweralkyl), $(CF_3)_n$ loweralkylphenyl, $(CF_3)_n$ loweralkyl(substitutedphenyl) of S-stereochemistry, and R_3 , R_1 and R_2 are all H.

 $15 (Original). \ \ \, The compound according to claim 1, wherein T is C(OH)R_1R_2, R_1, \\ R_2, and R_3 are H, and R_4 is (F)_n cycloalkyl.$

16(Original). The compound according to claim 1, wherein T is $C(OH)R_1R_2$, R_1 , R_2 , and R_3 are H, and R_4 is $(CF_3)_n$ alkyl.

17(Original). The compound according to claim 1, wherein T is $C(OH)R_1R_2$, R_1 is CH_3 , R_2 is H, R_3 is H, and R_4 is $(CF_3)_n alkyl$.

18(Original). The compound according to claim 1, wherein T is CHO, R_3 is H, and R_4 is $\overline{(CF_2)}_{n_0} llkyl.$

 $19 (Original). \ \ \, The compound according to claim 1, wherein T is C(OH)R_1R_2, R_1, \\ R_2 \ \, and R_3 \ \, are \ \, H, and R_4 \ \, is (CF_3)_2 CH \ \, of S-stereochemistry.$